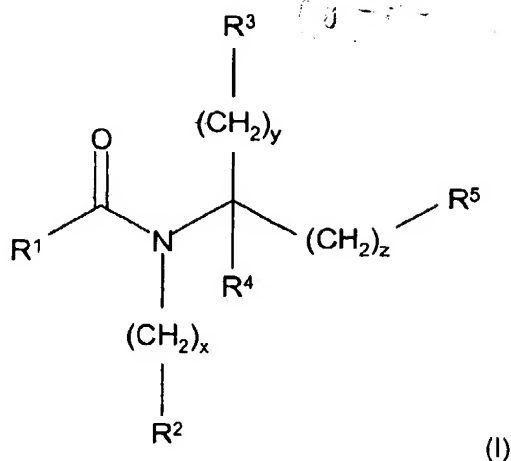


Claims

1. A compound of formula (I).



wherein:

R¹ is selected from:

- a) phenyl, which is optionally substituted by 1-3 groups each independently selected from C₁-C₆ alkyl, CF₃, halo, CN, NR⁷R⁸, OCF₃, SOR⁶, SO₂R⁶ and OC₁-C₆ alkyl, wherein said alkyl group may be optionally substituted by a C₃-C₈ cycloalkyl group, and
- b) Aromatic Heterocycle, which is optionally substituted by 1-3 groups each independently selected from C₁-C₆ alkyl, NH₂, CF₃, halo, OH, OC₁-C₆ alkyl, SR⁶, SOR⁶, SO₂R⁶, NR⁷R⁸ wherein R⁸ may be optionally substituted by NH₂, phenyl or Heterocycle, and OPh wherein Ph may be optionally substituted by 1-3 groups each independently selected from halo and C₁-C₆ alkyl;

R² is selected from:

- a) phenyl, which is optionally substituted by C₁-C₆ alkyl, halo, CN, NR⁷R⁸, OC₁-C₆ alkyl, OCF₃, CF₃ and SO₂R⁶,
- b) OPh, which is optionally substituted by C₁-C₆ alkyl, halo, OC₁-C₆ alkyl, OCF₃, CF₃ and SO₂R⁶,
- c) C₃-C₈ cycloalkyl which is optionally fused to phenyl,

- d) Aromatic Heterocycle,
- e) R^6 ,
- f) $C(O)NR^6R^6$, and
- g) Heterocycle, which is optionally substituted by $C(O)R^6$;

R^3 is selected from:

- a) phenyl; said phenyl being optionally fused to Heterocycle and said phenyl or said fused phenyl being optionally substituted by 1-3 groups each independently selected from: C_1 - C_6 alkyl, CF_3 , halo, CN, OCF_3 , SO_2R^6 and OC_1 - C_6 alkyl,
- b) Heterocycle,
- c) R^6 ,
- d) 3-8 membered cycloalkyl group, which is optionally substituted by C_1 - C_6 alkyl, and
- e) Aromatic Heterocycle, which is optionally substituted by C_1 - C_6 alkyl;

R^4 is hydrogen or CH_3 ;

R^5 is selected from:

- a) $CONH_2$, $CONHR^6$, $CONR^6R^6$, R^6 , NH_2 , NHR^6 , OH, OR^6 , $OC(O)NHR^6$, $NHC(O)H$, $NHC(O)R^6$, and
- b) Aromatic Heterocycle, which is optionally substituted by 1-3 groups each independently selected from C_1 - C_6 alkyl, NH_2 , CF_3 , halo, SR^6 , OH, OC_1 - C_6 alkyl, NHR^6 wherein the R^6 moiety may be optionally substituted by phenyl or Heterocycle, and OPh wherein Ph may be optionally substituted by 1-3 groups each independently selected from halo and C_1 - C_6 alkyl;

R^6 is C_{1-6} alkyl;

R^7 is hydrogen or C_1 - C_6 alkyl;

R^8 is C_1 - C_6 alkyl;

or NR^7R^8 forms a monocyclic saturated ring system containing between 3 and 7 ring atoms;

x is 0, 1 or 2,

y is 0, 1 or 2, and

z is 0, 1 or 2, and

wherein:

Aromatic Heterocycle may be defined as a 5-6 membered aromatic heterocycle containing 1-4 heteroatoms each independently selected from N, O and S, said ring optionally fused with a phenyl or a 3-8 membered cycloalkyl group;

Heterocycle is a 5-8 membered saturated or partially saturated ring containing 1-3 heteroatoms each independently selected from N, O and S, said ring optionally fused with phenyl;

a tautomer thereof or a pharmaceutically acceptable salt, solvate or polymorph of said compound or tautomer.

2. A compound according to claim 1 wherein R^1 is selected from:
- phenyl, which is optionally substituted by 1-3 groups each independently selected from $\text{C}_1\text{-C}_6$ alkyl, CF_3 , halo, CN, NR^7R^8 , SO_2R^6 and $\text{OC}_1\text{-C}_6$ alkyl, and
 - Aromatic Heterocycle, wherein said Aromatic Heterocycle is selected from pyridyl, pyrazinyl, pyrimidinyl, quinolinyl, quinoxalinyl, isoxazolyl and pyrazolyl, each aromatic heterocycle optionally substituted by 1-3 groups each independently selected from $\text{C}_1\text{-C}_6$ alkyl, SR^6 , SO_2R^6 , NH_2 , CF_3 , halo, OH, $\text{OC}_1\text{-C}_6$ alkyl, NR^7R^8 wherein R^8 may be optionally substituted by NH_2 , phenyl or Heterocycle, and OPh wherein Ph may be optionally substituted by 1-3 groups each independently selected from halo and $\text{C}_1\text{-C}_6$ alkyl;

R^2 is selected from:

- phenyl, which is optionally substituted by $\text{C}_1\text{-C}_6$ alkyl, halo, $\text{OC}_1\text{-C}_6$ alkyl, OCF_3 , NR^7R^8 , CF_3 or SO_2R^6 ,

- b) OPh, which is optionally substituted by C₁-C₆ alkyl or halo,
- c) cyclopropyl or 1- or 2-indanyl,
- d) pyrazolyl, which is optionally substituted by R⁶,
- e) R⁶,
- f) C(O)N(CH₃)₂, and
- g) a 5-6 membered saturated ring containing 1 nitrogen atom, said ring being substituted by C(O)R⁶;

R³ is selected from:

- a) phenyl, said phenyl being optionally fused to Heterocycle and said phenyl or said fused phenyl being optionally substituted by 1-3 groups each independently selected from C₁-C₆ alkyl, halo, CN and OC₁-C₆ alkyl,
- b) R⁶,
- c) cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, which is optionally substituted by C₁-C₆ alkyl; and
- d) Aromatic Heterocycle, wherein said Aromatic Heterocycle may be defined as a 5-6 membered aromatic heterocycle containing 1 or 2 nitrogen atoms, said ring optionally fused with a phenyl or a 3-8 membered cycloalkyl group.

R⁴ is H;

R⁵ is selected from: CONH₂, CONHR⁶, CONR⁶R⁶ and R⁶;

R⁶ is methyl;

x is 1;

y is 0; and

z is 0 or 1.

3. A compound according to claim 2 wherein R¹ is selected from:

- a) phenyl, which is optionally substituted by 1-3 groups each independently selected from C₁-C₆ alkyl, CF₃, halo, CN, NR⁷R⁸, SO₂R⁶ and OC₁-C₆ alkyl, and
- b) Aromatic Heterocycle, wherein said Aromatic Heterocycle is selected from:
 - i) pyridyl, which is optionally substituted by 1-3 groups each independently selected from C₁-C₆ alkyl, SO₂R⁶, NH₂, CF₃, CN,

halo, OH, OC₁₋₆ alkyl, NR⁷R⁸ wherein R⁸ may be optionally substituted by NH₂, phenyl or Heterocycle, and OPh wherein Ph may be optionally substituted by 1-3 groups each independently selected from halo and C₁₋₆ alkyl;

- ii) pyrimidinyl, which is optionally substituted by 1-3 groups each independently selected from C₁₋₆ alkyl, SO₂R⁶, NH₂, CF₃, CN, halo, OH, OC₁₋₆ alkyl, NR⁷R⁸ wherein R⁸ may be optionally substituted by NH₂, phenyl or Heterocycle, and OPh wherein Ph may be optionally substituted by 1-3 groups each independently selected from halo and C₁₋₆ alkyl;
- iii) pyrazinyl, which is optionally substituted by 1-3 groups each independently selected from C₁₋₆ alkyl, NH₂, SR⁶ and halo;
- iv) quinolinyl;
- v) quinoxalinyl, which is optionally substituted by OH;
- vi) isoxazolyl, which is optionally substituted by 1-3 groups each independently selected from: C₁₋₆ alkyl; and
- vii) pyrazole;

R² is selected from:

- a) phenyl, which is optionally substituted by methyl, halo, methoxy, CF₃ or SO₂CH₃,
- b) cyclopropyl or 1- or 2-indanyl,
- c) pyrazolyl, which is optionally substituted by methyl,
- d) C(O)N(CH₃)₂, and
- e) piperidinyl substituted by C(O)R⁶.

R³ is selected from:

- a) phenyl, said phenyl being optionally fused to 1,4-dioxan and said phenyl or said fused phenyl being optionally substituted by 1-3 groups each independently selected from C₁₋₆ alkyl, halo, CN and OC₁₋₆ alkyl;
- b) R⁶,
- c) cyclopropyl, which is optionally substituted by C₁₋₆ alkyl; and
- d) Aromatic Heterocycle, wherein said Aromatic Heterocycle is selected from pyrazolyl or pyridyl, both optionally substituted by C₁₋₆ alkyl;

R⁵ is CONH₂ or CH₃; and

z is 0.

4. A compound according to any one of claims 1 to 3 wherein R¹ is phenyl, 2- or 3-pyridyl or 2,4-pyrimidinyl, said moieties being optionally substituted by 1-3 groups each independently selected from C₁-C₆ alkyl, halo, OC₁-C₆ alkyl, CN, SO₂R⁶, NHR₇, NHCH₂CH₂NH₂ and CF₃;

5. A compound according to claim 4 wherein R¹ is phenyl, 2- or 3-pyridyl or 2,4-pyrimidinyl, said moieties being optionally substituted by 1-3 groups each independently selected from methyl, fluoro, chloro, methoxy, ethoxy, n-propoxy, CN, SO₂CH₃, NH₂, NHCH₃, NHCH₂CH₂NH₂, and CF₃.

6. A compound according to any one of claims 1 to 5 wherein R² is selected from:

- a) phenyl, which is optionally substituted by methyl, fluoro, chloro, methoxy, CF₃ or SO₂CH₃,
- b) pyrazolyl, which is optionally substituted by methyl, and
- c) C(O)N(CH₃)₂.

7. A compound according to claim 6 wherein R² is phenyl, *para*-fluorophenyl, *para*-chlorophenyl, *para*-methylphenyl, 2,5-dimethylphenyl, *o*-methylphenyl and *para*-methoxyphenyl.

8. A compound according to any one of claims 1 to 7 wherein R³ is selected from:

- a) phenyl, said phenyl being optionally fused to 1,4-dioxan and said phenyl or said fused phenyl being optionally substituted by 1-2 groups each independently selected from methyl, methoxy, ethoxy, fluoro, chloro and CN;
- b) isopropyl;
- c) cyclopropyl; and
- d) pyrazolyl and pyridyl, both optionally substituted by methyl.

9. A compound according to claim 8 wherein R³ is 3-methoxyphenyl or 1,4-benzodioxanyl.

10. A compound according to any one of claims 1 to 9 wherein R⁵ is CONH₂.

11. A compound according to claim 1 selected from:

2-Amino-*N*-[2-amino-1-(2-methylphenyl)-2-oxoethyl]-*N*-(4-chlorobenzyl)nicotinamide,

N-[2-Amino-1-(3-methoxyphenyl)-2-oxoethyl]-4-cyano-*N*-(4-methylbenzyl)benzamide,

N-[3-Amino-1-(3-methoxyphenyl)-3-oxopropyl]-4-methyl-*N*-(4-methylbenzyl)nicotinamide,

2-Amino-*N*-[(1*S*)-3-amino-3-oxo-1-phenylpropyl]-*N*-(4-methylbenzyl)nicotinamide,

5-Chloro-2-methylthio-*N*-[2-amino-1-{1,4-benzodioxan-6-yl}-2-oxoethyl]-*N*-(4-methylbenzyl)pyrimidine-4-carboxamide,

5-Chloro-2-amino-*N*-[2-amino-1-{1,4-benzodioxan-6-yl}-2-oxoethyl]-*N*-(4-methylbenzyl)pyrimidine-4-carboxamide, and

2-Amino-*N*-[carbamoyl-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-methyl]-4,6-dimethyl-*N*-(4-methyl-benzyl)-nicotinamide;

and tautomers thereof and pharmaceutically acceptable salts, solvates and polymorphs of said compound or tautomer.

12. A pharmaceutical composition comprising a compound of formula (I) as claimed in any one of claims 1 to 11, or pharmaceutically acceptable salts, solvates or polymorphs thereof, and a pharmaceutically acceptable diluent or carrier.

13. A compound of formula (I) as claimed in any one of claims 1 to 11, or a pharmaceutically acceptable salt, solvate or polymorph thereof, for use as a medicament.

14. A method of treatment of a disorder or condition where inhibition of Oxytocin is known, or can be shown, to produce a beneficial effect, in a mammal,

comprising administering to said mammal a therapeutically effective amount of a compound of formula (I) as claimed in any one of claims 1 to 11, or a pharmaceutically acceptable salt, solvate or polymorph thereof.

15. Use of a compound of formula (I) as claimed in any one of claims 1 to 11, or a pharmaceutically acceptable salt, solvate or polymorph thereof, in the preparation of a medicament for the treatment of a disorder or condition where inhibition of Oxytocin is known, or can be shown, to produce a beneficial effect.

16. Use according to either claim 14 or claim 15, wherein the disorder or condition is selected from sexual dysfunction (including premature ejaculation), preterm labour, complications in labour, appetite and feeding disorders, obesity, benign prostatic hyperplasia, premature birth, dysmenorrhoea, congestive heart failure, arterial hypertension, liver cirrhosis, nephrotic hypertension, ocular hypertension, obsessive compulsive disorder and neuropsychiatric disorders.

17. Use according to claim 16, wherein the disorder or condition is premature ejaculation.